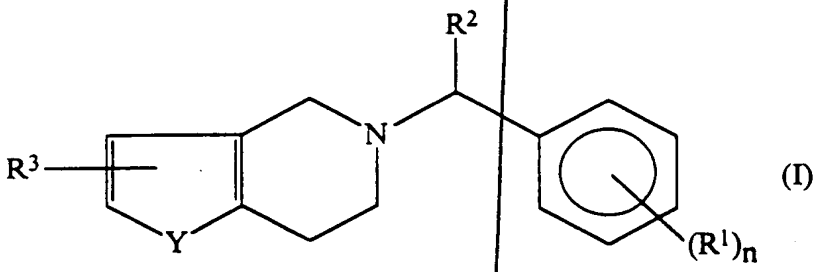
M&C FOLIO: 66034/FP-9215

WANGDOC: 1812H

WE CLAIM:

1. A compound of formula (I):



(I)

wherein:

R^1 represents a hydrogen atom, an alkyl group having from 1 to 4 carbon atoms, a halogen atom, a haloalkyl group having from 1 to 4 carbon atoms and at least one halogen atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, a haloalkoxy group having from 1 to 4 carbon atoms and at least one halogen atom, an alkylthio group having from 1 to 4 carbon atoms, a haloalkylthio group having from 1 to 4 carbon atoms and at least one halogen atom, an amino group, an alkanoyl group having from 1 to 5 carbon atoms, a haloalkanoyl group having from 2 to 5 carbon atoms and at least one halogen atom, a carboxy group, an alkoxycarbonyl group having from 2 to 5 carbon atoms, a carbamoyl group, a cyano group, a nitro group, an alkanesulfonyl group having from 1 to 4 carbon atoms, a haloalkanesulfonyl group having from 1 to 4 carbon atoms and at least one halogen atom, or a sulfamoyl group;

R^2 represents an alkanoyl group having from 1 to 10 carbon atoms, a substituted alkanoyl group which has from 2 to 10 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A, defined below, an alkenoyl group having from 3 to 6 carbon atoms, a substituted alkenoyl group which has from 3 to 6 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A, defined below, a cycloalkylcarbonyl group having from 4 to 8 carbon atoms, a substituted cycloalkylcarbonyl group which has from 4 to 8 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A, defined below, a substituted benzoyl group having at least one substituent selected from the group consisting of substituents B, defined below, or a 5,6-dihydro-1,4,2-dioxazin-3-yl group;

R^3 represents a hydrogen atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, a substituted alkoxy group which has from 1 to 4 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents C, defined below, an aralkyloxy group in which the aralkyl part is as defined below, an alkanoyloxy group having from 1 to 18 carbon atoms, an alkenoyloxy group having from 3 to 6 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 8 carbon atoms, an arylcarbonyloxy group in which the aryl part is as defined below, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, an aralkyloxycarbonyloxy group in which the aralkyl part is as defined below, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)-methoxy group, a group of formula $-NR^aR^b$

wherein R^a and R^b are independently selected from the group consisting of hydrogen atoms, alkyl groups having from 1 to 4 carbon atoms and substituted alkyl groups which have from 1 to 4 carbon atoms and which are substituted by at least one substituent selected from the group consisting of substituents C, defined below, an aralkylamino group in which the aralkyl part is as defined below, an alkanoylamino group having from 1 to 18 carbon atoms, an alkenoylamino group having from 3 to 6 carbon atoms, a cycloalkylcarbonylamino group having from 4 to 8 carbon atoms, an arylcarbonylamino group in which the aryl part is as defined below, an alkoxycarbonylamino group having from 2 to 5 carbon atoms, an aralkyloxycarbonylamino group in which the aralkyl part is as defined below, a phthalidylamino group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methylamino group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methylamino group or a nitro group;

Y represents a group of formula -NH- or an oxygen or sulfur atom; and

n is an integer from 1 to 5, and, when n is an integer from 2 to 5, the groups represented by R^1 may be the same as or different from each other;

said substituents A are selected from the group consisting of halogen atoms, hydroxy groups, alkoxy groups having from 1 to 4 carbon atoms and cyano groups;

said substituents B are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, halogen atoms and alkoxy groups having from 1 to 4 carbon atoms;

said substituents C are selected from the group

consisting of alkoxy groups having from 1 to 4 carbon atoms, alkanoyloxy groups having from 1 to 6 carbon atoms and arylcarbonyloxy groups in which the aryl part is as defined below;

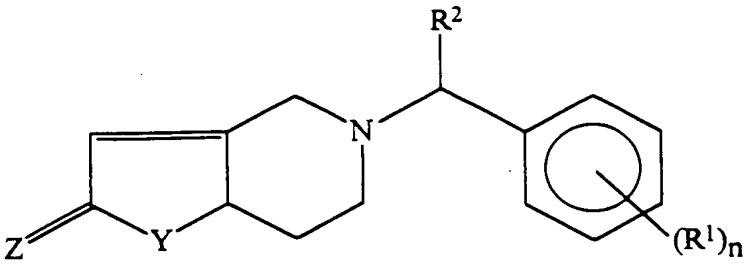
said aralkyl parts of said aralkyloxy, aralkyloxy-carbonyloxy, aralkylamino and aralkyloxycarbonylamino groups are alkyl groups which have from 1 to 4 carbon atoms and which are substituted by at least one aryl group as defined below;

said aryl groups and said aryl parts of said arylcarbonyloxy groups and of said arylcarbonylamino groups have from 6 to 10 carbon atoms in a carbocyclic ring which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D, defined below; and

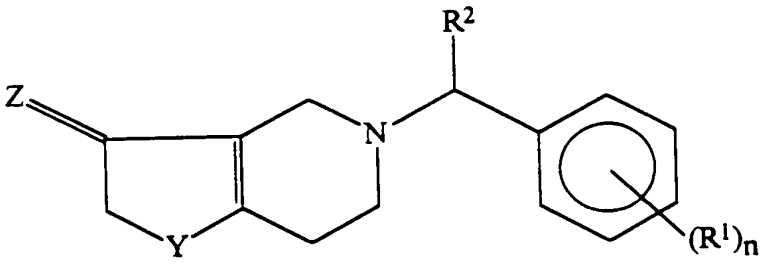
said substituents D are selected from the group consisting of the groups and atoms defined above in relation to R^1, other than said hydrogen atom;

and tautomers thereof and pharmaceutically acceptable salts of said compounds of formula (I) and of said tautomers.

2. The compound of claim 1, wherein said tautomer has the formula (Ia) or (Ib):



(Ia)



(Ib)

wherein R^1, R^2, Y and n are as defined above and Z represents group of formula =NH or an oxygen atom.

3. The compound of claim 1, wherein R^1 represents a hydrogen atom, an alkyl group having from 1 to 4 carbon atoms, a halogen atom, a fluoroalkyl group having from 1 to 4 carbon atoms and at least one fluorine atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, a fluoroalkoxy group having from 1 to 4 carbon

atoms and at least one fluorine atom, an alkylthio group having from 1 to 4 carbon atoms, a fluoroalkylthio group having from 1 to 4 carbon atoms and at least one fluorine atom, an amino group, an alkanoyl group having from 1 to 5 carbon atoms, a fluoroalkanoyl group having from 2 to 5 carbon atoms and at least one fluorine atom, an alkoxycarbonyl group having from 2 to 5 carbon atoms, a carbamoyl group, a cyano group, a nitro group, an alkanesulfonyl group having from 1 to 4 carbon atoms, a fluoroalkanesulfonyl group having from 1 to 4 carbon atoms and at least one fluorine atom, or a sulfamoyl group.

4. The compound of Claim 1, wherein R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, a substituted cycloalkylcarbonyl group which has from 4 to 7 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, a substituted benzoyl group having at least one fluorine substituent, ~~or a 5,6-dihydro-1,4,2-dioxazin-3-yl group~~; and

said substituents A' are selected from the group consisting of fluorine atoms, chlorine atoms, hydroxy groups, methoxy groups, ethoxy groups and cyano groups.

5. The compound of Claim 1, wherein R^3 represents a hydrogen atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, an alkoxymethoxy group in which the alkoxy part has from 1 to 4 carbon atoms, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group which is

unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkanoyloxy group having from 1 to 18 carbon atoms, an alkenoyloxy group having 3 or 4 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)-methoxy group, a group of formula $-NR^aR^b$

wherein R^a and R^b are independently selected from the group consisting of hydrogen atoms, methyl and ethyl groups or R^a represents a hydrogen atom and R^b represents an alkanoyloxymethyl group in which the alkanoyl part has from 1 to 5 carbon atoms,

a benzylamino group, an alkanoylamino group having from 1 to 18 carbon atoms, an alkenoylamino group having 3 or 4 carbon atoms, a cycloalkylcarbonylamino group having 6 or 7 carbon atoms, a benzoylamino group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonylamino group having from 2 to 5 carbon atoms or a benzyloxycarbonylamino group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below; and

said substituents D' are selected from the group consisting of fluorine atoms, chlorine atoms, methyl

groups and methoxy groups.

6. The compound of Claim 1, wherein Y represents an oxygen or sulfur atom.

7. The compound of Claim 1, wherein:

R^1 represents a hydrogen atom, an alkyl group having from 1 to 4 carbon atoms, a halogen atom, a fluoroalkyl group having from 1 to 4 carbon atoms and at least one fluorine atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, a fluoroalkoxy group having from 1 to 4 carbon atoms and at least one fluorine atom, an alkylthio group having from 1 to 4 carbon atoms, a fluoroalkylthio group having from 1 to 4 carbon atoms and at least one fluorine atom, an amino group, an alkanoyl group having from 1 to 5 carbon atoms, a fluoroalkanoyl group having from 2 to 5 carbon atoms and at least one fluorine atom, an alkoxycarbonyl group having from 2 to 5 carbon atoms, a carbamoyl group, a cyano group, a nitro group, an alkanesulfonyl group having from 1 to 4 carbon atoms, a fluoroalkanesulfonyl group having from 1 to 4 carbon atoms and at least one fluorine atom, or a sulfamoyl group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, a substituted cycloalkylcarbonyl group which has from 4 to 7 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, or a substituted benzoyl group having at least one fluorine substituent~~, or a 5,6-dihydro-1,4,2-dioxazin-3-yl group~~;

R^3 represents a hydrogen atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, an alkoxymethoxy group in which the alkoxy part has from 1 to 4 carbon atoms, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkanoyloxy group having from 1 to 18 carbon atoms, an alkenoyloxy group having 3 or 4 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a group of formula $-NR^aR^b$

wherein R^a and R^b are independently selected from the group consisting of hydrogen atoms, methyl groups and ethyl groups or R^a represents a hydrogen atom and R^b represents an alkanoyloxymethyl group in which the alkanoyl part has from 1 to 5 carbon atoms,

a benzylamino group, an alkanoylamino group having from 1 to 18 carbon atoms, an alkenoylamino group having 3 or 4 carbon atoms, a cycloalkylcarbonylamino group having 6 or 7 carbon atoms, a benzoylamino group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonylamino group having from 2 to 5 carbon atoms or a benzyloxycarbonylamino group which is unsubstituted or

is substituted by at least one substituent selected from the group consisting of substituents D', defined below;

~~Y represents an oxygen or sulfur atom;~~

said substituents A' are selected from the group consisting of fluorine atoms, chlorine atoms, hydroxy groups, methoxy groups, ethoxy groups and cyano groups; and

said substituents D' are selected from the group consisting of fluorine atoms, chlorine atoms, methyl groups and methoxy groups.

~~8~~ 7. The compound of Claim ~~7~~ 6, wherein n is from 1 to 3.

~~9~~ 8. The compound of Claim ~~7~~ 6, wherein n is 1.

~~10~~ 9. The compound of Claim 1, wherein R^1 represents a hydrogen atom, a methyl group, an ethyl group, a halogen atom, a methyl group substituted by at least one fluorine atom, a hydroxy group, a methoxy group, an ethoxy group, a methoxy group substituted by at least one fluorine atom, a methylthio group, a methylthio group substituted by at least one fluorine atom, a formyl group, an acetyl group, an acetyl group substituted by at least one fluorine atom, an alkoxycarbonyl group having from 2 to 4 carbon atoms, a carbamoyl group, a cyano group, a nitro group, a methanesulfonyl group, an ethanesulfonyl group, a methanesulfonyl group substituted by at least one fluorine atom, or a sulfamoyl group.

~~11~~ 10. The compound of Claim 1, wherein R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one fluorine

atom, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, or a substituted cycloalkylcarbonyl group which is substituted by at least one fluorine atom.

11. The compound of Claim 1, wherein R^3 represents a hydrogen atom, a hydroxy group, a methoxy group, an ethoxy group, a t-butoxy group, a methoxymethoxy group, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group, an alkanoyloxy group having from 1 to 12 carbon atoms, an alkenoyloxy group having 3 or 4 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, an amino group or a t-butoxycarbonylamino group.

12. The compound of Claim 1, wherein:

R^1 represents a hydrogen atom, a methyl group, an ethyl group, a halogen atom, a methyl group substituted by at least one fluorine atom, a hydroxy group, a methoxy group, an ethoxy group, a methoxy group substituted by at least one fluorine atom, a methylthio group, a methylthio group substituted by at least one fluorine atom, a formyl group, an acetyl group, an acetyl group substituted by at least one fluorine atom, an alkoxycarbonyl group having from 2 to 4 carbon atoms, a carbamoyl group, a cyano group, a nitro group, a methanesulfonyl group, an ethanesulfonyl group, a methanesulfonyl group substituted by at least one fluorine atom, or a sulfamoyl group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has

from 2 to 6 carbon atoms and which is substituted by at least one fluorine atom, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, or a substituted cycloalkylcarbonyl group which is substituted by at least one fluorine atom; and

R^3 represents a hydrogen atom, a hydroxy group, a methoxy group, an ethoxy group, a t-butoxy group, a methoxymethoxy group, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group, an alkanoyloxy group having from 1 to 12 carbon atoms, an alkenoyloxy group having 3 or 4 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, an amino group or a t-butoxycarbonylamino group, ~~and~~

~~Y represents an oxygen or sulfur atom.~~

13
~~14.~~ The compound of Claim 12, ~~13~~, wherein n is from 1 to 3.

14
~~15.~~ The compound of Claim 12, ~~13~~, wherein n is 1.

15
~~16.~~ The compound of Claim 1, wherein R^1 represents a halogen atom, a trifluoromethyl group, a hydroxy group, a difluoromethoxy group, a trifluoromethoxy group, a difluoromethylthio group, a trifluoromethylthio group, a formyl group, an acetyl group, a trifluoroacetyl group, a cyano group or a nitro group.

16
~~17.~~ The compound of Claim 1, wherein R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 10 carbon atoms, an alkoxycarbonyloxy group having from 2 to 5

carbon atoms or a (5-methyl-2-oxo-1,3-dioxolen-4-yl)-methoxy group.

18. The compound of Claim 1, wherein Y represents a sulfur atom.

~~19~~ 17. The compound of Claim 1, wherein:

R^1 represents a halogen atom, a trifluoromethyl group, a hydroxy group, a difluoromethoxy group, a trifluoromethoxy group, a difluoromethylthio group, a trifluoromethylthio group, a formyl group, an acetyl group, a trifluoroacetyl group, a cyano group or a nitro group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one fluorine atom, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, or a substituted cycloalkylcarbonyl group which is substituted by at least one fluorine atom; and

R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 10 carbon atoms, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms or a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group~~; and~~.

~~Y represents a sulfur atom.~~

~~20~~ 18. The compound of Claim ~~19~~ 17, wherein n is from 1 to 3.

~~21~~ 19. The compound of Claim ~~19~~ 17, wherein n is 1.

22. The compound of Claim 1, wherein R^1 represents a fluorine or chlorine atom.

20
~~23~~. The compound of Claim 1, wherein R^2 represents an acetyl group, a propionyl group, a substituted acetyl or propionyl group which is substituted by at least one fluorine atom, a cyclopropylcarbonyl group, cyclobutylcarbonyl group, or a substituted cyclopropylcarbonyl or cyclobutylcarbonyl group which is substituted by at least one fluorine atom.

21
~~24~~. The compound of Claim 1, wherein R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 6 carbon atoms or an alkoxycarbonyloxy group having from 2 to 5 carbon atoms.

~~25~~. The compound of Claim 1, wherein:

R^1 represents a fluorine or chlorine atom;

R^2 represents an acetyl group, a propionyl group, a substituted acetyl or propionyl group which is substituted by at least one fluorine atom, a cyclopropylcarbonyl group, cyclobutylcarbonyl group, or a substituted cyclopropylcarbonyl or cyclobutylcarbonyl group which is substituted by at least one fluorine atom; and

R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 6 carbon atoms or an alkoxycarbonyloxy group having from 2 to 5 carbon atoms~~; and~~ .

~~Y represents a sulfur atom.~~

23
~~26~~. The compound of Claim ~~25~~ 22, wherein n is from 1 to 3.

24
~~27~~. The compound of Claim ~~26~~ 22, wherein n is 1.

25
~~28~~. The compound of Claim 1, selected from the group

consisting of 5-(2-fluoro-α-propionylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine and pharmaceutically acceptable salts thereof.

26
~~29~~. The compound of Claim 1, selected from the group consisting of 5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine and pharmaceutically acceptable salts thereof.

27
~~30~~. The compound of Claim 1, selected from the group consisting of 5-(2-chloro-α-cyclopropylcarbonylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine and pharmaceutically acceptable salts thereof.

28
~~31~~. The compound of Claim 1, selected from the group consisting of 2-acetoxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine and pharmaceutically acceptable salts thereof.

29
~~32~~. The compound of Claim 1, selected from the group consisting of 5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-propionyloxy-4,5,6,7-tetrahydrothieno[3,2-c]-pyridine and pharmaceutically acceptable salts thereof.

30
~~33~~. The compound of Claim 1, selected from the group consisting of 2-butyryloxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine and pharmaceutically acceptable salts thereof.

31
~~34~~. The compound of Claim 1, selected from the group consisting of 5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-pivaloyloxy-4,5,6,7-tetrahydrothieno[3,2-c]-pyridine and pharmaceutically acceptable salts thereof.

32
~~35~~. The compound of Claim 1, selected from the group consisting of 5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-valeryloxy-4,5,6,7-tetrahydrothieno[3,2-c]-

pyridine and pharmaceutically acceptable salts thereof.

33
~~36.~~ The compound of Claim 1, selected from the group consisting of 5-(α-cyclopropylcarbonyl-2-fluoro-benzyl)-2-hexanoyloxy-4,5,6,7-tetrahydrothieno[3,2-c]-pyridine and pharmaceutically acceptable salts thereof.

34
~~37.~~ The compound of Claim 1, selected from the group consisting of 2-t-butoxycarbonyloxy-5-(α-cyclopropyl-carbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]-pyridine and pharmaceutically acceptable salts thereof.

35
~~38.~~ The compound of Claim 1, selected from the group consisting of 5-(α-cyclopropylcarbonyl-2-fluoro-benzyl)-2-pivaloyloxymethoxy-4,5,6,7-tetrahydrothieno-[3,2-c]pyridine and pharmaceutically acceptable salts thereof.

36
~~39.~~ The compound of Claim 1, selected from the group consisting of 5-(2-chloro-α-cyclopropylcarbonyl-benzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer and pharmaceutically acceptable salts thereof.

37
~~40.~~ The compound of Claim 1, selected from the group consisting of 5-(2-fluoro-α-propionylbenzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer and pharmaceutically acceptable salts thereof.

38
~~41.~~ The compound of Claim 1, selected from the group consisting of 5-(α-cyclopropylcarbonyl-2-fluoro-benzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer and pharmaceutically acceptable salts thereof.

39
~~42.~~ The compound of Claim 1, selected from the group consisting of 2-acetoxy-5-(2-chloro-α-cyclopropyl-

carbonylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine and pharmaceutically acceptable salts thereof.

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~~43~~. The compound of Claim 1, selected from the group consisting of 5-[α-(2-fluorocyclopropylcarbonyl-2-fluorobenzyl]-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]-pyridine and its tautomer and pharmaceutically acceptable salts thereof.

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~~44~~. The compound of Claim 1, selected from the group consisting of 2-acetoxy-5-[α-(2-fluorocyclopropyl-carbonyl-2-fluorobenzyl]-4,5,6,7-tetrahydrothieno[3,2-c]-pyridine and pharmaceutically acceptable salts thereof.

42
~~45~~. A pharmaceutical composition for the treatment and prophylaxis of thrombosis or embolisms, comprising an effective amount of a blood platelet aggregation inhibitor in admixture with a pharmaceutically acceptable carrier or diluent, wherein said inhibitor is at least one compound of formula (I), or a tautomer or pharmaceutically acceptable salt thereof, as claimed in Claim 1.

43 42
~~46~~. The composition of Claim ~~45~~, wherein:

R^1 represents a hydrogen atom, an alkyl group having from 1 to 4 carbon atoms, a halogen atom, a fluoroalkyl group having from 1 to 4 carbon atoms and at least one fluorine atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, a fluoroalkoxy group having from 1 to 4 carbon atoms and at least one fluorine atom, an alkylthio group having from 1 to 4 carbon atoms, a fluoroalkylthio group having from 1 to 4 carbon atoms and at least one fluorine atom, an amino group, an alkanoyl group having from 1 to 5 carbon atoms, a fluoroalkanoyl group having from 2 to 5 carbon atoms and at least one fluorine atom, an alkoxycarbonyl group

having from 2 to 5 carbon atoms, a carbamoyl group, a cyano group, a nitro group, an alkanesulfonyl group having from 1 to 4 carbon atoms, a fluoroalkanesulfonyl group having from 1 to 4 carbon atoms and at least one fluorine atom, or a sulfamoyl group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, a substituted cycloalkylcarbonyl group which has from 4 to 7 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, a substituted benzoyl group having at least one fluorine substituent, or a ~~5,6-dihydro-1,4,2-dioxazin-3-yl group~~;

R^3 represents a hydrogen atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, an alkoxymethoxy group in which the alkoxy part has from 1 to 4 carbon atoms, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkanoyloxy group having from 1 to 18 carbon atoms, an alkenoyloxy group having 3 or 4 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of

substituents D', defined below, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a group of formula $-NR^aR^b$

wherein R^a and R^b are independently selected from the group consisting of hydrogen atoms, methyl groups and ethyl groups or R^a represents a hydrogen atom and R^b represents an alkanoyloxymethyl group in which the alkanoyl part has from 1 to 5 carbon atoms,

a benzylamino group, an alkanoylamino group having from 1 to 18 carbon atoms, an alkenoylamino group having 3 or 4 carbon atoms, a cycloalkylcarbonylamino group having 6 or 7 carbon atoms, a benzoylamino group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonylamino group having from 2 to 5 carbon atoms or a benzyloxycarbonylamino group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below;

~~Y represents an oxygen or sulfur atom;~~

said substituents A' are selected from the group consisting of fluorine atoms, chlorine atoms, hydroxy groups, methoxy groups, ethoxy groups and cyano groups; and

said substituents D' are selected from the group consisting of fluorine atoms, chlorine atoms, methyl groups and methoxy groups.

~~47~~ 44. The composition of Claim ~~45~~ 42, wherein:

R^1 represents a hydrogen atom, a methyl group, an ethyl group, a halogen atom, a methyl group substituted

by at least one fluorine atom, a hydroxy group, a methoxy group, an ethoxy group, a methoxy group substituted by at least one fluorine atom, a methylthio group, a methylthio group substituted by at least one fluorine atom, a formyl group, an acetyl group, an acetyl group substituted by at least one fluorine atom, an alkoxycarbonyl group having from 2 to 4 carbon atoms, a carbamoyl group, a cyano group, a nitro group, a methanesulfonyl group, an ethanesulfonyl group, a methanesulfonyl group substituted by at least one fluorine atom, or a sulfamoyl group;

P1 H

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one fluorine atom, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, or a substituted cycloalkylcarbonyl group which is substituted by at least one fluorine atom; and

a

P1 H

R^3 represents a hydrogen atom, a hydroxy group, a methoxy group, an ethoxy group, a t-butoxy group, a methoxymethoxy group, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group, an alkanoyloxy group having from 1 to 12 carbon atoms, an alkenoyloxy group having 3 or 4 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, an amino group or a t-butoxycarbonylamino group~~, and~~.

a

P1

Y represents an oxygen or sulfur atom.

45 ~~48~~. The composition of Claim 42 ~~45~~, wherein:

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R^1 represents a halogen atom, a trifluoromethyl group, a hydroxy group, a difluoromethoxy group, a trifluoromethoxy group, a difluoromethylthio group, a trifluoromethylthio group, a formyl group, an acetyl group, a trifluoroacetyl group, a cyano group or a nitro group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one fluorine atom, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, or a substituted cycloalkylcarbonyl group which is substituted by at least one fluorine atom; and

R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 10 carbon atoms, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms or a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group; ~~and~~

~~Y represents a sulfur atom.~~

~~49~~. The composition of Claim ~~45~~, wherein:

R^1 represents a fluorine or chlorine atom;

R^2 represents an acetyl group, a propionyl group, a substituted acetyl or propionyl group which is substituted by at least one fluorine atom, a cyclopropylcarbonyl group, cyclobutylcarbonyl group, or a substituted cyclopropylcarbonyl or cyclobutylcarbonyl group which is substituted by at least one fluorine atom; and

R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 6 carbon atoms or an alkoxycarbonyloxy group

having from 2 to 5 carbon atoms; and

~~Y represents a sulfur atom~~

~~50~~ 47. The composition of Claim ~~45~~ 42 wherein said blood platelet aggregation inhibitor is selected from the group consisting of:

5-(2-fluoro-α-propionylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(2-chloro-α-cyclopropylcarbonylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

2-acetoxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-propionyloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

2-butyryloxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-pivaloyloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-valeryloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-hexanoyloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

2-t-butoxycarbonyloxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-pivaloyloxymethoxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(2-chloro-α-cyclopropylcarbonylbenzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

5-(2-fluoro-α-propionylbenzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

2-acetoxy-5-(2-chloro-α-cyclopropylcarbonylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-[α-(2-fluorocyclopropylcarbonyl-2-fluorobenzyl]-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

2-acetoxy-5-[α-(2-fluorocyclopropylcarbonyl-2-fluorobenzyl]-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

and pharmaceutically acceptable salts thereof.

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~~51.~~ A method for the treatment or prophylaxis of thrombosis or embolisms, comprising administering to a mammal an effective amount of a blood platelet aggregation inhibitor, wherein said inhibitor is at least one compound of formula (I), or a tautomer or pharmaceutically acceptable salt thereof, as claimed in Claim 1.

49 48
~~52.~~ The method of Claim ~~51~~, wherein:

R^1 represents a hydrogen atom, an alkyl group having

from 1 to 4 carbon atoms, a halogen atom, a fluoroalkyl group having from 1 to 4 carbon atoms and at least one fluorine atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, a fluoroalkoxy group having from 1 to 4 carbon atoms and at least one fluorine atom, an alkylthio group having from 1 to 4 carbon atoms, a fluoroalkylthio group having from 1 to 4 carbon atoms and at least one fluorine atom, an amino group, an alkanoyl group having from 1 to 5 carbon atoms, a fluoroalkanoyl group having from 2 to 5 carbon atoms and at least one fluorine atom, an alkoxycarbonyl group having from 2 to 5 carbon atoms, a carbamoyl group, a cyano group, a nitro group, an alkanesulfonyl group having from 1 to 4 carbon atoms, a fluoroalkanesulfonyl group having from 1 to 4 carbon atoms and at least one fluorine atom, or a sulfamoyl group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, a substituted cycloalkylcarbonyl group which has from 4 to 7 carbon atoms and which is substituted by at least one substituent selected from the group consisting of substituents A', defined below, a substituted benzoyl group having at least one fluorine substituent, ~~or a 5,6-dihydro-1,4,2-dioxazin-3-yl group~~;

R^3 represents a hydrogen atom, a hydroxy group, an alkoxy group having from 1 to 4 carbon atoms, an alkoxymethoxy group in which the alkoxy part has from 1 to 4 carbon atoms, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group

consisting of substituents D', defined below, an alkanoyloxy group having from 1 to 18 carbon atoms, an alkenoyloxy group having 3 or 4 carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a group of formula $-NR^aR^b$

wherein R^a and R^b are independently selected from the group consisting of hydrogen atoms, methyl groups and ethyl groups or R^a represents a hydrogen atom and R^b represents an alkanoyloxymethyl group in which the alkanoyl part has from 1 to 5 carbon atoms,

a benzylamino group, an alkanoylamino group having from 1 to 18 carbon atoms, an alkenoylamino group having 3 or 4 carbon atoms, a cycloalkylcarbonylamino group having 6 or 7 carbon atoms, a benzoylamino group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below, an alkoxycarbonylamino group having from 2 to 5 carbon atoms or a benzyloxycarbonylamino group which is unsubstituted or is substituted by at least one substituent selected from the group consisting of substituents D', defined below;

~~Y represents an oxygen or sulfur atom;~~

said substituents A' are selected from the group consisting of fluorine atoms, chlorine atoms, hydroxy

groups, methoxy groups, ethoxy groups and cyano groups; and

said substituents D' are selected from the group consisting of fluorine atoms, chlorine atoms, methyl groups and methoxy groups.

53. The method of Claim 51, wherein:

R^1 represents a hydrogen atom, a methyl group, an ethyl group, a halogen atom, a methyl group substituted by at least one fluorine atom, a hydroxy group, a methoxy group, an ethoxy group, a methoxy group substituted by at least one fluorine atom, a methylthio group, a methylthio group substituted by at least one fluorine atom, a formyl group, an acetyl group, an acetyl group substituted by at least one fluorine atom, an alkoxycarbonyl group having from 2 to 4 carbon atoms, a carbamoyl group, a cyano group, a nitro group, a methanesulfonyl group, an ethanesulfonyl group, a methanesulfonyl group substituted by at least one fluorine atom, or a sulfamoyl group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one fluorine atom, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, or a substituted cycloalkylcarbonyl group which is substituted by at least one fluorine atom; and

R^3 represents a hydrogen atom, a hydroxy group, a methoxy group, an ethoxy group, a t-butoxy group, a methoxymethoxy group, an alkanoyloxymethoxy group in which the alkanoyl part has from 1 to 5 carbon atoms, a benzyloxy group, an alkanoyloxy group having from 1 to 12 carbon atoms, an alkenoyloxy group having 3 or 4

carbon atoms, a cycloalkylcarbonyloxy group having from 4 to 7 carbon atoms, a benzoyloxy group, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms, a benzyloxycarbonyloxy group, a phthalidyloxy group, a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, a (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methoxy group, an amino group or a t-butoxycarbonylamino group; and

~~Y represents an oxygen or sulfur atom.~~

~~54~~ 51. The method of Claim ~~51~~ 48, wherein:

R^1 represents a halogen atom, a trifluoromethyl group, a hydroxy group, a difluoromethoxy group, a trifluoromethoxy group, a difluoromethylthio group, a trifluoromethylthio group, a formyl group, an acetyl group, a trifluoroacetyl group, a cyano group or a nitro group;

R^2 represents an alkanoyl group having from 2 to 6 carbon atoms, a substituted alkanoyl group which has from 2 to 6 carbon atoms and which is substituted by at least one fluorine atom, a cycloalkylcarbonyl group having from 4 to 7 carbon atoms, or a substituted cycloalkylcarbonyl group which is substituted by at least one fluorine atom; and

R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 10 carbon atoms, an alkoxycarbonyloxy group having from 2 to 5 carbon atoms or a (5-methyl-2-oxo-1,3-dioxolen-4-yl)methoxy group; and

~~Y represents a sulfur atom.~~

52. ~~55~~. The method of Claim ~~51~~ 48, wherein:

R^1 represents a fluorine or chlorine atom;

R^2 represents an acetyl group, a propionyl group, a substituted acetyl or propionyl group which is substituted by at least one fluorine atom, a cyclopropylcarbonyl group, cyclobutylcarbonyl group, or a substituted cyclopropylcarbonyl or cyclobutylcarbonyl group which is substituted by at least one fluorine atom;

R^3 represents a hydrogen atom, a hydroxy group, a pivaloyloxymethoxy group, an alkanoyloxy group having from 2 to 6 carbon atoms or an alkoxycarbonyloxy group having from 2 to 5 carbon atoms; and

Y represents a sulfur atom.

53. The method of Claim 48, wherein said blood platelet aggregation inhibitor is selected from the group consisting of:

5-(2-fluoro-α-propionylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(2-chloro-α-cyclopropylcarbonylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

2-acetoxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-propionyloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

2-butyryloxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-pivaloyloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-valeryloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-hexanoyloxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

2-t-butoxycarbonyloxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-pivaloyloxymethoxy-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-(2-chloro-α-cyclopropylcarbonylbenzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

5-(2-fluoro-α-propionylbenzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

2-acetoxy-5-(2-chloro-α-cyclopropylcarbonylbenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

5-[α-(2-fluorocyclopropylcarbonyl-2-fluorobenzyl]-2-oxo-2,4,5,6,7,7a-hexahydrothieno[3,2-c]pyridine and its tautomer;

2-acetoxy-5-[α-(2-fluorocyclopropylcarbonyl-2-fluorobenzyl]-4,5,6,7-tetrahydrothieno[3,2-c]pyridine;

and pharmaceutically acceptable salts thereof.

claims 54-55
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